```
=> d his

     (FILE 'HOME' ENTERED AT 11:03:56 ON 25 FEB 2005)

     FILE 'STNGUIDE' ENTERED AT 11:04:15 ON 25 FEB 2005

     FILE 'REGISTRY' ENTERED AT 11:06:49 ON 25 FEB 2005
               ACT KRI409F0/Q
              ---------
L1             STR
              ---------
L2             STR L1
L3             STR L2
L4          50 L3
L5        1514 L3 FULL
               DEL KRI409F0/Q
               SAV TEM KRI409F0/A L5
L6             STR L2
L7           1 L6
L8          12 L6 FULL
               SAV TEM L8 KRI409F1/A

     FILE 'HCAPLUS' ENTERED AT 11:28:18 ON 25 FEB 2005
L9         234 L5 (L) RACT+NT/RL
L10         20 L8 (L) RACT+NT/RL
L11          9 L9 AND L10

     FILE 'REGISTRY' ENTERED AT 11:30:02 ON 25 FEB 2005
               ACT KRI622F0/A
              ---------
L12            STR
L13        447 SEA FILE=REGISTRY SSS FUL L12
              ---------
L14            STR L12
L15          8 L14
L16        117 L15 FULL
               SAV TEM KRI409F2/A L16

     FILE 'HCAPLUS' ENTERED AT 11:37:12 ON 25 FEB 2005
L17          8 L16
L18          8 L17 AND L11

     FILE 'CASREACT' ENTERED AT 11:39:38 ON 25 FEB 2005
L19            STR L14
L20          0 L19

     FILE 'REGISTRY' ENTERED AT 11:47:37 ON 25 FEB 2005
L21            STR L19
L22          2 L21 SAM SUB=L16
L23         18 L21 FULL SUB=L16
L24            STR L19
L25          0 L24 SAM SUB=L13
L26          0 L24 FULL SUB=L13

     FILE 'HCAPLUS' ENTERED AT 11:52:15 ON 25 FEB 2005
L27          7 L23
L28          8 L18 OR L27
L29          9 L11 OR L18
L30          9 L29 OR L28

=> b reg
FILE 'REGISTRY' ENTERED AT 12:50:52 ON 25 FEB 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
```

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 FEB 2005 HIGHEST RN 836595-43-8
DICTIONARY FILE UPDATES: 23 FEB 2005 HIGHEST RN 836595-43-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

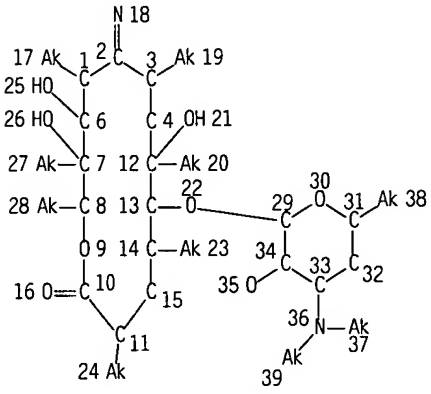
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que sta 15
L3 STR

RRT



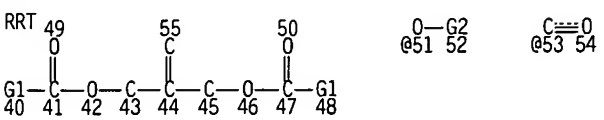
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 38

STEREO ATTRIBUTES: NONE
L5 1514 SEA FILE=REGISTRY SSS FUL L3

100.0% PROCESSED 3541 ITERATIONS 1514 ANSWERS
SEARCH TIME: 00.00.01

=> d que sta 18
L6 STR



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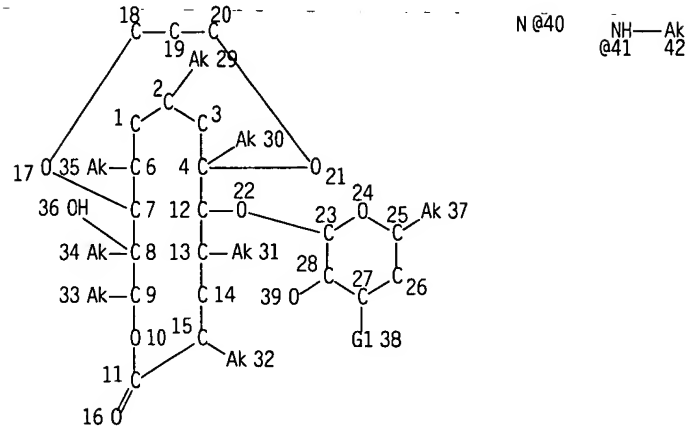
VAR G2=53/SI/AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L8 12 SEA FILE=REGISTRY SSS FUL L6

100.0% PROCESSED 415 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.01

=> d que sta l13
L12 STR



Page 1-A

Ak—N—Ak
43 @44 45

Page 2-A
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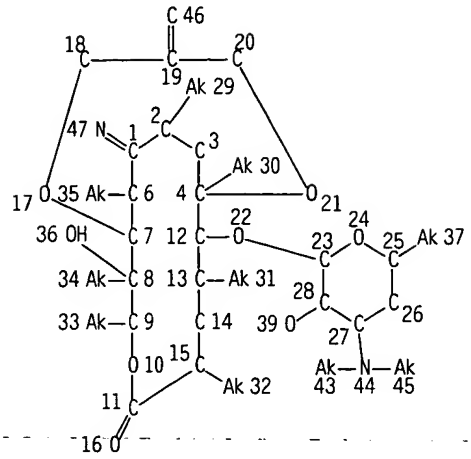
NSPEC IS R AT 40
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE
L13 447 SEA FILE=REGISTRY SSS FUL L12

100.0% PROCESSED 3151 ITERATIONS 447 ANSWERS
SEARCH TIME: 00.00.01

=> d que sta l16
L14 STR



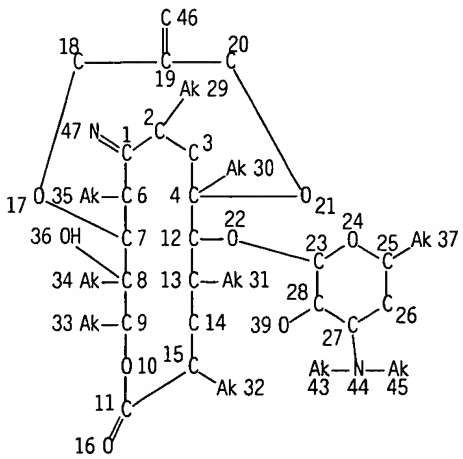
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 42

STEREO ATTRIBUTES: NONE
L16 117 SEA FILE=REGISTRY SSS FUL L14

100.0% PROCESSED 128 ITERATIONS 117 ANSWERS
SEARCH TIME: 00.00.01

=> d que sta l23
L14 STR

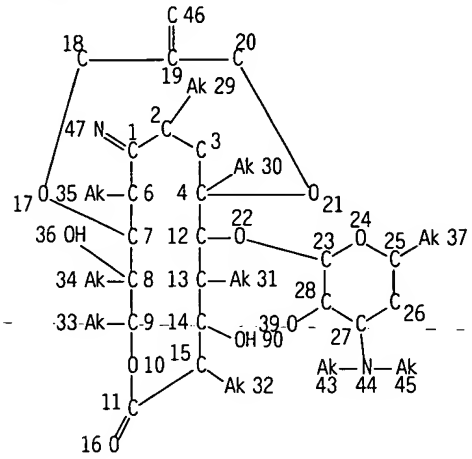


NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 42

STEREO ATTRIBUTES: NONE
L16 117 SEA FILE=REGISTRY SSS FUL L14
L21 STR

RRT



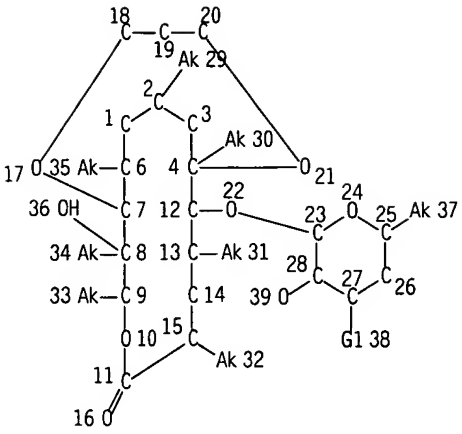
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE
L23 18 SEA FILE=REGISTRY SUB=L16 SSS FUL L21

100.0% PROCESSED 117 ITERATIONS 18 ANSWERS
SEARCH TIME: 00.00.01

=> d que sta 126
L12 STR



N @40 NH—Ak
@41 42

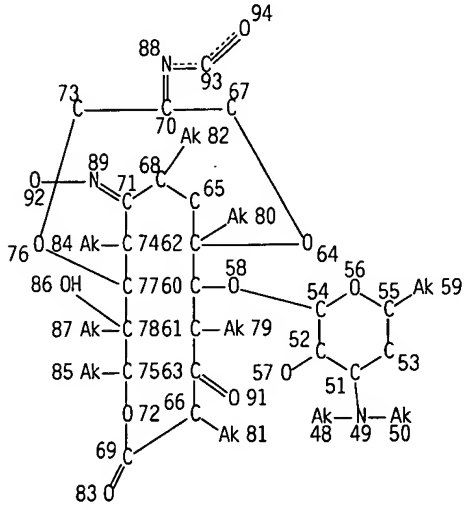
Page 1-A

Ak—N—Ak
43 @44 45

Page 2-A
VAR G1=40/NH2/41/44
NODE ATTRIBUTES:
NSPEC IS R AT 40
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE
L13 447 SEA FILE=REGISTRY SSS FUL L12
L24 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 46

STEREO ATTRIBUTES: NONE
L26 0 SEA FILE=REGISTRY SUB=L13 SSS FUL L24

100.0% PROCESSED 325 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

=> b hcap
FILE 'HCAPLUS' ENTERED AT 12:51:15 ON 25 FEB 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907 - 25 Feb 2005 VOL 142 ISS 10
FILE LAST UPDATED: 24 Feb 2005 (20050224/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d all fhitstr l30 tot

L30 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:34589 HCAPLUS
DN 142:114362
ED Entered STN: 14 Jan 2005
TI Preparation of glycoside bridged macrocyclic compounds as antibacterial agents
IN Or, Yat Sun
PA USA
SO U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 464,188.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07H017-08
ICS A61K031-7048
NCL 514028000; 536007100
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 10, 63
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005009761	A1	20050113	US 2004-763377	20040123
	US 2004023895	A1	20040205	US 2002-205018	20020725
	US 6841664	B2	20050111		

	US 6753318	B1	20040622	US 2002-205357	20020725
	US 2005037982	A1	20050217	US 2003-429485	20030505
	US 2004053861	A1	20040318	US 2003-436622	20030513
	US 6764998	B1	20040720	US 2003-464188	20030618
PRAI	US 2002-144396	B2	20020513		
	US 2002-144558	B2	20020513		
	US 2002-205018	A2	20020725		
	US 2002-205357	A2	20020725		
	US 2003-429485	A2	20030505		
	US 2003-436622	A2	20030513		
	US 2003-464188	A2	20030618		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2005009761	ICM	C07H017-08
	ICS	A61K031-7048
	NCL	514028000; 536007100
US 2004023895	ECLA	C07H017/08F
US 2004053861	ECLA	C07H017/08F

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides a method for preparing bridged macrocyclic glycosides, e.g. I, wherein R is H, acyl, silane, hydroxy protecting group; L and R3 are independently H, aliphatic, alicyclic, aromatic, heteroarom., heterocyclic; one of U or V is H and the other is independently selected from R4, , OR4, OC(O)R4, oxy-amide, S(O)nR4, sugar residue; R4 is H, deuterium, alkyl, alicyclic, aromatic, heterocyclic; U and V, taken together with the carbon atom to which they are attached, are C:O, or UV and R1R2, taken together with the carbon atoms to which they are attached, are -C(R4)CH-; X and Y together with the carbon atom to which they are attached are CO, imine, oxime; X1 is H or halogen; n is 0-2, comprising the step of reacting a macrocyclic compound characterized by having at least two nucleophilic moieties with a bi-functional bridging reagent optionally in the presence of a catalyst, thereby producing a bridged macrocyclic product. Thus, macrolide II was prepared as potential antibacterial agent. This invention also encompasses pharmaceutical compns. containing, and methods of treating bacterial infections through administering, pharmaceutically acceptable prodrugs of compds. produced by the process of the present invention (no data).

ST aminodeoxy glycoside macrocyclic prepn antibacterial

IT Glycosides
RL: SPN (Synthetic preparation); PREP (Preparation)
(amino; preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

IT Macrolides
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

IT 110-64-5, 2-Butene-1,4-diol 3513-81-3 **13127-18-9** 76801-85-9 652150-15-7
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

IT 116700-73-3P 134297-05-5P **314050-27-6P** **620161-75-3P** 625390-08-1P 625390-10-5P 652150-16-8P 652157-58-9P 823802-96-6P 823802-97-7P 823802-99-9P 823803-00-5P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**

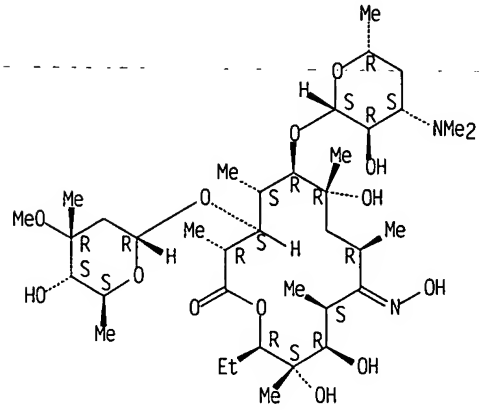
(preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

IT **620161-76-4P** 823802-98-8P 823803-01-6P 823803-03-8P 823803-04-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

IT **13127-18-9**
RL: **RCT (Reactant); RACT (Reactant or reagent)**; **RACT (Reactant or reagent)**
(preparation of glycoside bridged macrocyclic compds. as antibacterial agents)

RN 13127-18-9 HCAPLUS
CN Erythromycin, 9-oxime (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L30 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:890622 HCAPLUS
DN 142:56597
ED Entered STN: 27 Oct 2004
TI Synthesis of Novel 6,11-O-Bridged Bicyclic Ketolides via a Palladium-Catalyzed Bis-allylation
AU Wang, Guoqiang; Niu, Deqiang; Qiu, Yao-Ling; Phan, Ly Tam; Chen, Zhigang; Polemeropoulos, Alexander; Or, Yat Sun
CS Enanta Pharmaceuticals, Inc., Watertown, MA, 02472, USA
SO Organic Letters (2004), 6(24), 4455-4458
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
CC 33-7 (Carbohydrates)
Section cross-reference(s): 10
AB A bridging chemical process was developed to form an ether bridge between 6-O and 11-O of erythromycin A via a tandem or stepwise palladium-catalyzed bis-.pi.-allylation. By applying this bridging process, new 6,11-O-bridged bicyclic ketolides (BBKs) were synthesized. These BBKs showed good antibacterial activities against the macrolide-susceptible strains as well as mef-resistant strains and served as a good core for further modifications to study the structure-activity relationship (SAR) and to overcome bacterial resistance.
ST antibacterial structure activity bridged bicyclic ketolide; bridged bicyclic ketolide macrolide antibiotic prepn
IT Macrolides
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic

preparation); BIOL (Biological study); PREP (Preparation)
(antibiotics; synthesis of 6,11-O-bridged bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)

IT Structure-activity relationship
(antimicrobial; synthesis of 6,11-O-bridged bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)

IT Infection
(bacterial; synthesis of 6,11-O-bridged bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)

IT Alkylation
Allylation
Antibacterial agents
(synthesis of 6,11-O-bridged bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)

IT Ketolides
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of 6,11-O-bridged bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)

IT **628698-70-4P** 628702-87-4P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(antibacterial activity; synthesis of 6,11-O-bridged bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)

IT **628698-53-3P**
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(crystal structure of; synthesis of 6,11-O-bridged bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)

IT 3513-81-3 26776-70-5, 1,3-Dihydroxyacetone dimer 35000-38-5
111321-02-9
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(synthesis of 6,11-O-bridged bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)

IT 116700-78-8P **620161-75-3P** **625389-96-0P**
625389-97-1P **625390-05-8P** 625390-08-1P 625390-10-5P
625390-12-7P 625390-14-9P 625390-16-1P 625390-18-3P 625390-20-7P
625390-28-5P 625390-30-9P **628698-52-2P** **628698-69-1P**
628702-86-3P **628703-03-7P** **808765-28-8P**
808765-29-9P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(synthesis of 6,11-O-bridged bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)

IT **625390-04-7P** **808765-30-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 6,11-O-bridged bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Agouridas, C; J Med Chem 1998, V41, P4080 HCAPLUS
(2) Allen, N; Antimicrob Agents Chemother 1977, V11, P669 HCAPLUS
(3) Amsterdam, D; Antibiotics in Laboratory Medicine, 4th ed 1996, P52
(4) Anon; Methods for Dilution Antimicrobial Susceptibility Tests for Bacterial that Grow Aerobically, 5th ed 2000, V20(2)
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(9) Chu, D; Curr Opin Microbiol 1999, V2, P467 HCAPLUS
(10) Chu, D; Expert Opin Invest Drugs 1995, V4, P65 HCAPLUS

(11) Denis, A; Bioorg Med Chem Lett 1999, V9, P3075 HCAPLUS
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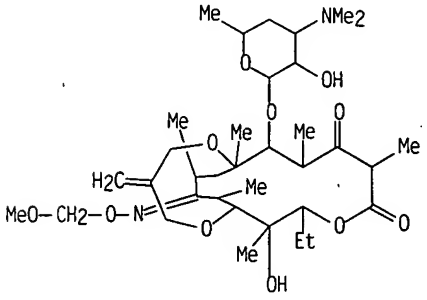
(14) Gasparski, C; J Org Chem 1992, V57, P3546 HCAPLUS
(15) Huang, Y; Tetrahedron Lett 1988, V29, P5663 HCAPLUS
(16) Keyes, R; J Med Chem 2003, V46, P1795 HCAPLUS
(17) Kurath, P; Experimentia 1971, V27, P362 HCAPLUS
(18) Le Martret, O; 35th Interscience Conference on Antimicrobial Agents and Chemtherapy, Abstract No F157 1995
(19) Ma, Z; 39th Interscience Conference on Antimicrobial Agents and Chemtherapy, Abstract No F2113 1999
(20) Ma, Z; Curr Med Chem-Anti-Infect Agents 2002, V1, P15 HCAPLUS
(21) Ma, Z; J Med Chem 2001, V44, P4137 HCAPLUS
(22) Ma, Z; Org Lett 2002, V4, P987 HCAPLUS
(23) Or, Y; J Med Chem 2000, V43, P1045 HCAPLUS
(24) Pestka, S; Antimicrob Agents Chemother 1976, V9, P128 HCAPLUS
(25) Stoner, E; J Org Chem 2003, V68, P8847 HCAPLUS
(26) Timms, G; Tetrahedron Lett 1971, V12, P195
(27) Zhanel, G; Drugs 2001, V61, P443 HCAPLUS

IT **628698-70-4P**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**; BIOL (Biological study); **RACT (Reactant or reagent)**
(antibacterial activity; synthesis of 6,11-O-bridged bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)

RN 628698-70-4 HCAPLUS

CN Erythromycin, 3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-.alpha.-L-ribo-hexopyranosyl)oxy]-6,11-O-(2-methylene-1,3-propanediyl)-3-oxo-, 9-[O-(methoxymethyl)oxime], (9E)- (9CI) (CA INDEX NAME)



L30 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:722951 HCAPLUS
DN 141:225773
ED Entered STN: 03 Sep 2004
TI Processes for the preparation of 6-11-bicyclic erythromycin derivatives via palladium-catalyzed condensation reaction
IN Xu, Guoyou; Tang, Datong; Gai, Yonghua; Kim, Heejin; Wang, Guoqiang; Phan, Ly Tam; Or, Yat Sun; Wang, Zhe
PA USA
SO U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S. Ser. No. 436,622.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07H017-08
NCL 536007400
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 63
FAN.CNT 10

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	US 2004171818	A1	20040902	US 2004-758409	20040114
	US 2005037982	A1	20050217	US 2003-429485	20030505
	US 2004053861	A1	20040318	US 2003-436622	20030513
PRAI	US 2002-144396	B2	20020513		
	US 2002-144558	B2	20020513		
	US 2003-429485	A2	20030505		
	US 2003-436622	A2	20030513		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004171818	ICM	C07H017-08
	NCL	536007400
US 2004171818	ECLA	C07H017/08F
US 2004053861	ECLA	C07H017/08F

OS CASREACT 141:225773; MARPAT 141:225773

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to processes and intermediates for the preparation of 6-11 bicyclic erythromycin derivs. I, wherein R-R2 are independently selected from hydrogen, acyl, silane, aliphatic group, alicyclic group, aromatic group, heteroarom. group, saturated or unsatd. heterocyclic; Q is independently selected from R2, alkoxy, ester, heterocycle; Z is independently selected from R2, alkoxy, ester, amide, oxy-sulfonyl, were prepared I was prepared via palladium-catalyzed condensation of macrolide II with ester III. In particular, the present invention relates to processes and intermediates for the preparation of a macrolide IV.

ST prodrug erythromycin amino glycoside prepn palladium catalyzed condensation macrolide; bicyclic erythromycin amino glycoside prepn palladium catalyzed condensation ester

IT Macrolides
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(glycosides; processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT Glycosides
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(lactones, macrolides; processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT Condensation reaction
Condensation reaction catalysts
(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 7440-05-3, Palladium, uses 51364-51-3, Pd2(dba)3
RL: CAT (Catalyst use); USES (Uses)
(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT **314050-27-6P** 321533-62-4P **620161-75-3P**
620161-78-6P 628703-61-7P **748796-37-4P** 748796-38-5P
748796-39-6P 748796-40-9P
RL: IMF (Industrial manufacture); **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 625390-37-6P 748796-41-0P 748797-36-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT 288-13-1, Pyrazole 524-38-9, n-Hydroxyphthalimide 3513-81-3, 2-Methylene-1,3-propanediol **13127-18-9**, Erythromycin a oxime 24424-99-5, Di-tert-butyl dicarbonate 73781-91-6, Methyl 6-chloronicotinate
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

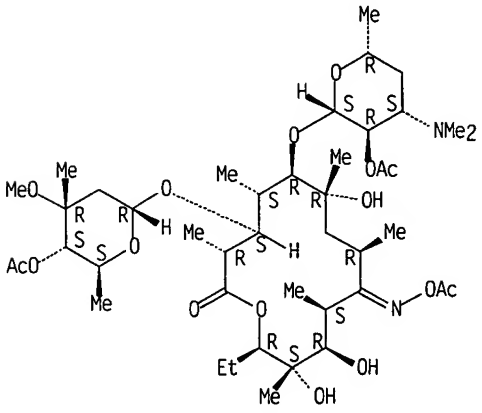
IT 7688-25-7, 1,4-Bis(diphenylphosphino)butane
RL: RGT (Reagent); RACT (Reactant or reagent)
(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

IT **314050-27-6P**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(processes for preparation of bicyclic erythromycin derivs. via palladium catalyzed condensation reaction)

RN 314050-27-6 HCAPLUS

CN Erythromycin, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L30 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:652626 HCAPLUS
DN 141:190995
ED Entered STN: 13 Aug 2004
TI Preparation of 6-11-bicyclic erythromycin ketolide derivatives as antibacterial agents
IN Or, Yat Sun; Guoqiang, Wang; Phan, Ly Tam; Niu, Deqiang; Vo, Nha Huu; Qiu, Yao-Ling; Wang, Yanchun; Busuyek, Marina; Hou, Ying; Peng, Yulin; Kim, Heejin; Liu, Tongzhu; Farmer, Jay Judson; Xu, Guoyav
PA USA
SO U.S. Pat. Appl. Publ., 156 pp., Cont.-in-part of U.S. Ser. No. 429,485.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-7048
ICS C07H017-08
NCL 514028000; 536007400
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 10, 63
FAN.CNT 10

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	US 2004157787	A1	20040812	US 2003-717290	20031119
	US 2005037982	A1	20050217	US 2003-429485	20030505
PRAI	US 2002-144558	B2	20020513		
	US 2003-429485	A2	20030505		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004157787	ICM	A61K031-7048
	ICS	C07H017-08
	NCL	514028000; 536007400
US 2004157787	ECLA	C07H017/08F

OS MARPAT 141:190995

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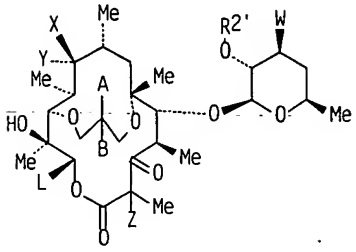

I

AB 6-11 Bicyclic erythromycin ketolide derivs. I, wherein A is OH, ORp, where Rp is a hydroxy protecting group, R1, where R1 is aryl, heteroaryl, OR1, R2, where R2 is H, halogen, alkyl, alkenyl, alkynyl, OR2, amine, amide, sulfonyl, sulfonamide; B is H, deuterium, halogen, OH, R1, R2, ORp; A and B together with the carbon atom to which they are attached form CO, ketal, thioketal, alkylidene, oxime; one of X and Y is H and the other is H, deuterium, OH, ORp, amine; X and Y are together CO, imine; L is Me, Et, CH(OH)Me, alkyl, alkenyl, alkynyl; W is amine; Z is H, Me, halogen; R2' is H, Rp, were prepared as antibacterial agents. Thus, bicyclic erythromycin ketolide I, wherein A and B taken together with the carbon atom to which they are attached are C=CH2, X and Y taken together with the carbon atom to which they are attached are C=N-Ac, L = CH2CH3, Z = H, and R2' = Ac, was prepared and tested in vitro as antibacterial agent. The compds. of the invention demonstrated in vitro antibacterial activity of MIC in the range from about 64 .mu.g/mL to about 0.03 .mu.g/mL. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment.

ST human bicyclic erythromycin ketolide macrolide glycoside prepn antibacterial

IT Glycosides
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Infection
(bacterial; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Antibiotics
(macrolide; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Antibacterial agents
Human

(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 14221-01-3, Tetrakis(triphenylphosphine)palladium 31210-36-3
51364-51-3, Pd2(dba)3
RL: CAT (Catalyst use); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 628698-55-5P 628698-56-6P 628698-59-9P 628698-60-2P 628698-61-3P
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RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 628701-59-7P 628701-61-1P 628701-63-3P 628701-64-4P 628701-65-5P
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RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 116700-73-3P 123784-07-6P **620161-75-3P 625389-96-0P**
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736992-12-4P
RL: IMF (Industrial manufacture); **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 62-53-3, Aniline, reactions 64-04-0, Phenethylamine 80-17-1 92-66-0
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3360-54-1 3513-81-3 4616-54-0 4732-11-0 4846-21-3 4916-55-6
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Search done by Noble Jarrell

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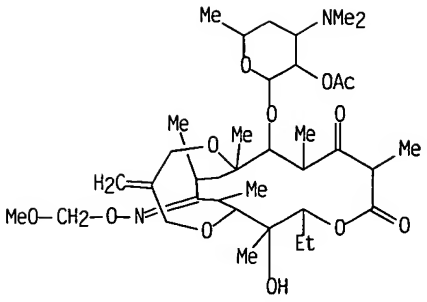
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 87742-13-0
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT **628698-69-1P**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**; SPN (Synthetic preparation); THU (Therapeutic use); **RACT (Reactant or reagent)**; PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

RN 628698-69-1 HCAPLUS
CN Erythromycin, 3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-.alpha.-L-ribo-hexopyranosyl)oxy]-6,11-O-(2-methylene-1,3-propanediyl)-3-oxo-, 9-[O-(methoxymethyl)oxime], 2'-acetate, (9E)- (9CI) (CA INDEX NAME)



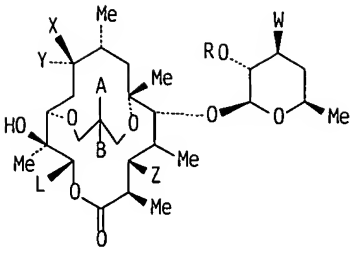
L30 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:220028 HCAPLUS
DN 140:236004
ED Entered STN: 19 Mar 2004
TI Preparation of 6,11-bicyclic erythromycin macrolides as antibacterial agents
IN Or, Yat Sun; Wang, Guoqiang; Phan, Ly Tam; Niu, Deqiang; Qiu, Yao-Ling; Vo, Nha Huu; Farmer, Jay Judson; Hou, Ying
PA USA
SO U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S. Ser. No. 144,396, abandoned.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-7048
ICS A61K031-7052; C07H017-08
NCL 514028000; 536007100; 536017400
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 10, 63
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004053861	A1	20040318	US 2003-436622	20030513
	US 2004171818	A1	20040902	US 2004-758409	20040114
	US 2005009761	A1	20050113	US 2004-763377	20040123
PRAI	US 2002-144396	B2	20020513		
	US 2002-144558	B2	20020513		
	US 2002-205018	A2	20020725		
	US 2002-205357	A2	20020725		
	US 2003-429485	A2	20030505		
	US 2003-436622	A2	20030513		
	US 2003-464188	A2	20030618		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004053861	ICM	A61K031-7048
	ICS	A61K031-7052; C07H017-08
	NCL	514028000; 536007100; 536017400
US 2004053861	ECLA	C07H017/08F
US 2004171818	ECLA	C07H017/08F

OS CASREACT 140:236004; MARPAT 140:236004
GI



I

AB 6,11-Bicyclic erythromycin macrolides I, wherein A is OH, OR1, R1 is hydroxy protecting group, aryl, heteroaryl, O-aryl, O-heteroaryl, H, halogen, alkyl, alkenyl, alkynyl, sulfonyl, amide, sulfonamide, amine; B is H, deuterium, halogen, OH, aryl, heteroaryl, OR1; A and B together are O, acetal, thioacetal, acyl, alkene, oxime; X and Y are independently H, deuterium, OR1, amine; X and Y together are CO, imine; L is Me, Et, CH(OH)Me, alkyl, alkenyl, alkynyl; W is amine; Z is H, OH, OR1, alkoxy, ester, O-amide, sulfonyl, heterocycle, or pharmaceutically acceptable salts, esters, or prodrugs thereof which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Title compds. were tested for in vitro antibacterial activity by a micro-dilution method and demonstrated an MIC in the range from about 64 .mu.g/mL to about 0.03 .mu.g/mL. According to the methods of treatment of the present invention, bacterial infections are treated or prevented in a patient such as a human or other animals by administering to the patient a therapeutically effective amount of a compound of the invention, in such amts. and for such time as is necessary to achieve the desired result (no data). Thus, I (A and B together with the carbon atom to which they are attached = C:CH2, X and Y together with the carbon atom to which they are attached = C:NAc, L = Et, W is NMe2, Z = R = H) was prepared and tested as antibacterial agent.

ST bicyclic erythromycin macrolide prepn antibacterial human prodrug

IT Antibiotics
(aminoglycoside; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Infection
(bacterial; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Antibiotics
(macrolide; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Antibacterial agents
Antibiotics
Human
(preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Drug delivery systems
(prodrugs; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT **625390-06-9P** 625390-26-3P 625390-39-8P **625390-42-3P**
625390-44-5P **625390-48-9P** **625390-49-0P**
625390-51-4P **625390-52-5P** **625390-53-6P**
625390-54-7P **625390-55-8P** **625390-56-9P**
625390-57-0P **625390-58-1P** 625390-59-2P
625390-60-5P 625390-61-6P **625390-62-7P**
625390-63-8P **625390-64-9P** **625390-65-0P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN

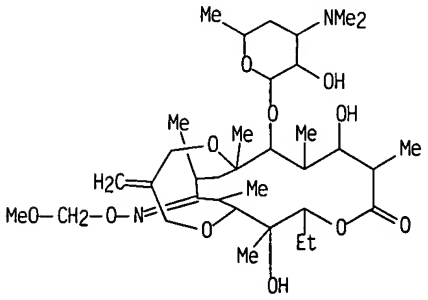
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT **625389-96-0P 625389-97-1P 625389-98-2P**
625389-99-3P 625390-00-3P 625390-02-5P
625390-03-6P 625390-04-7P 625390-05-8P
625390-08-1P 625390-12-7P 625390-14-9P 625390-16-1P 625390-18-3P
625390-20-7P 625390-22-9P 625390-24-1P 625390-28-5P 625390-30-9P
625390-31-0P 625390-32-1P 625390-33-2P
625390-34-3P 625390-35-4P 625390-36-5P 625390-37-6P
625390-38-7P **625390-40-1P 625390-41-2P**
625390-43-4P 625390-45-6P 625390-46-7P
625390-47-8P 625390-50-3P 628703-03-7P
RL: IMF (Industrial manufacture); **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT 103-64-0, .beta.-Bromostyrene 501-81-5, 3-Pyridylacetic acid
1449-46-3, Benzyl triphenylphosphonium bromide 5332-24-1,
3-Bromoquinoline 7688-25-7, 1,4-Bis(diphenylphosphino)butane
13115-43-0, 2-Pyridylacetic acid 26776-70-5, 1,3-Dihydroxyacetone dimer
111321-02-9 315193-22-7 **620161-75-3** 625390-10-5
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT **625390-06-9P**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**; SPN (Synthetic preparation); THU (Therapeutic use); **RACT (Reactant or reagent)**; PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin macrolides as antibacterial agents)

RN 625390-06-9 HCAPLUS
CN Erythromycin, 3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-.alpha.-L-ribo-hexopyranosyl)-6,11-O-(2-methylene-1,3-propanediyl)-, 9-[O-(methoxymethyl)oxime], (9E)- (9CI) (CA INDEX NAME)



L30 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:101000 HCAPLUS
DN 140:146397
ED Entered STN: 08 Feb 2004
TI Preparation of 6,11-4-carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents
IN Or, Yat Sun; Wang, Guogiang; Niu, Deqiang; Phan, Ly Tam
PA Enanta Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-70
ICS C07H017-08
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 63

FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004011009	A1	20040205	WO 2003-US20860	20030701
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 6753318	B1	20040622	US 2002-205357	20020725
	US 2005009763	A1	20050113	US 2004-841249	20040507
PRAI	US 2002-205357	A	20020725		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004011009	ICM	A61K031-70
	ICS	C07H017-08

OS CASREACT 140:146397; MARPAT 140:146397

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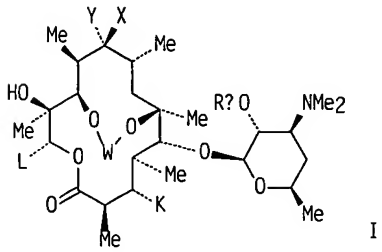

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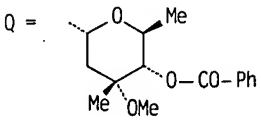


AB Novel 6,11-4-carbon bridged erythromycin ketolides I, wherein W is substituted alkylidene, X and Y are independently H, deuterium, OH, alkoxy, amine; XY are together CO, imine, oxime, amide; L is hydroxy-alkyl, alkyl, alkenyl, alkynyl; Z is H, Me, halogen; Rx is hydroxy protecting group; K is H, alkoxy, ester, carbamate, sulfoxide, sugar residue; pharmaceutically-acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically-acceptable carrier are described. Also described are methods for treating bacterial infections by administering to an animal a pharmaceutical composition containing a therapeutically effective amount of a compound of the invention and processes for the preparation of such compds. Thus, I (W is -CH2CH=CHCH2-, X and Y taken together with the carbon atom they are attached to form C=N-OH, L is Et, Rx = H; K is sugar residue Q) was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated an MIC in the range from about 64 .mu.g/mL to about 0.03 .mu.g/mL.

ST human prodrug ketolide macrolide erythromycin analog antibacterial prepn

IT Glycosides

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino; preparation of carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents)

IT Antibiotics
(aminoglycoside; preparation of carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents)

IT Infection
(bacterial; preparation of carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents)

IT Antibacterial agents
Human
(preparation of carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents)

IT Drug delivery systems
(prodrugs; preparation of carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents)

IT 652150-09-9P 652157-55-6P 652157-59-0P 652157-60-3P 652157-61-4P
652157-62-5P 652157-63-6P 652157-64-7P 652157-65-8P 652157-66-9P
652157-67-0P 652157-68-1P 652157-69-2P 652157-70-5P 652157-71-6P
652157-72-7P 652157-73-8P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents)

IT 134297-05-5P **314050-31-2P** 652150-08-8P 652150-16-8P
652150-17-9P 652150-18-0P 652150-19-1P 652150-20-4P 652157-56-7P
652157-57-8P 652157-58-9P
RL: IMF (Industrial manufacture); **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents)

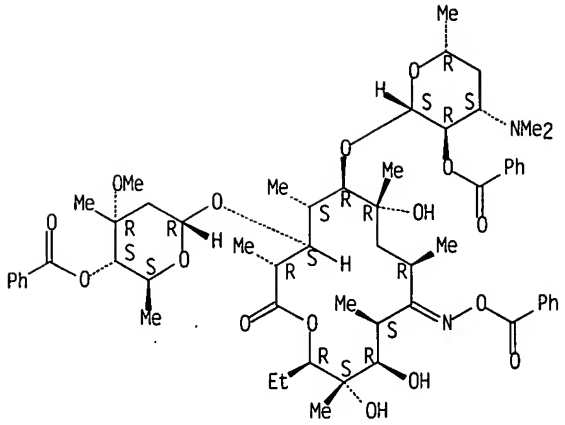
IT 93-97-0, Benzoic anhydride 4151-27-3, 1,4-Bis(diphenylphosphinyl)butane
5332-24-1, 3-Bromoquinoline **13127-18-9**, Erythromycin A oxime
314050-27-6 620161-75-3
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Abbott Lab; WO 9921864 A 1999 HCAPLUS
(2) Ma, Z; ORGANIC LETTERS 2002, V4(6), P987 HCAPLUS

IT **314050-31-2P**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents)

RN 314050-31-2 HCAPLUS
CN Erythromycin, 9-(O-benzoyloxime), 2',4''-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L30 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:931379 HCAPLUS

DN 140:16927

ED Entered STN: 28 Nov 2003

TI Preparation of 6-11 bicyclic erythromycin ketolide derivatives as antibacterial agents

IN Or, Yat Sun; Wang, Guoqiang; Phan, Ly Tam; Niu, Deqiang; Vo, Nha Huu; Qiu, Yao-ling; Wang, Yanchun; Busuyek, Marina; Hou, Ying; Peng, Yulin; Kim, Heejin; Liu, Tongzhu; Farmer, Jay Judson; Xu, Guoyou

PA Enanta Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 249 pp.
CODEN: PIXXD2

DT Patent

LA English

IC ICM C07H017-08
ICS A61K031-7048; A61P031-04

CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 10, 63

FAN.CNT 10

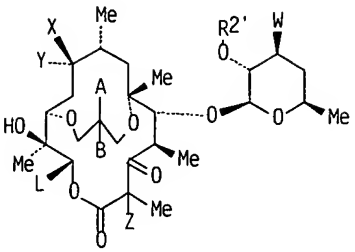
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003097659	A1	20031127	WO 2003-US14669	20030509
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005037982	A1	20050217	US 2003-429485	20030505
	EP 1506214	A1	20050216	EP 2003-733983	20030509
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-144558	A	20020513		
	US 2003-429485	A	20030505		
	WO 2003-US14669	W	20030509		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003097659	ICM	C07H017-08
	ICS	A61K031-7048; A61P031-04

OS MARPAT 140:16927

GI



I

AB 6-11 Bicyclic erythromycin ketolide derivs. I, wherein A is OH, ORp, where Rp is a hydroxy protecting group, R1, where R1 is aryl, heteroaryl, OR1, R2, where R2 is H, halogen, alkyl, alkenyl, alkynyl, OR2, amine, amide, sulfonyl, sulfonamide; B is H, deuterium, halogen, OH, R1, R2, ORp; A and B together with the carbon atom to which they are attached form CO, ketal, thioketal, alkylidene, oxime; one of X and Y is H and the other is H, deuterium, OH, ORp, amine; X and Y are together CO, imine; L is Me, Et, CH(OH)Me, alkyl, alkenyl, alkynyl; W is amine; Z is H, Me, halogen; R2' is H, Rp, were prepared as antibacterial agents. Thus, bicyclic erythromycin ketolide I, wherein A and B taken together with the carbon atom to which they are attached are C=CH2, X and Y taken together with the carbon atom to which they are attached are C=N-Ac, L = CHCH3, Z = H, and R2' = Ac, was prepared and tested in vitro as antibacterial agent. The compds. of the invention demonstrated in vitro antibacterial activity of MIC in the range from about 64 .mu.g/mL to about 0.03 .mu.g/mL. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment.

ST human bicyclic erythromycin ketolide macrolide glycoside prepn antibacterial

IT Glycosides
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Antibiotics
(aminoglycoside; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Infection
(bacterial; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Antibiotics
(macrolide; preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT Antibacterial agents
Antibiotics
Human
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 14221-01-3, Tetrakis(triphenylphosphine)palladium 31210-36-3
51364-51-3, Pd2(dba)3
RL: CAT (Catalyst use); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 628698-55-5P 628698-56-6P 628698-59-9P 628698-60-2P 628698-61-3P

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628701-25-7P 628701-28-0P 628701-31-5P 628701-34-8P 628701-36-0P
628701-38-2P 628701-40-6P 628701-43-9P 628701-45-1P 628701-47-3P
628701-49-5P 628701-51-9P 628701-53-1P 628701-55-3P 628701-57-5P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 628701-59-7P 628701-61-1P 628701-63-3P 628701-64-4P 628701-65-5P
628701-66-6P 628701-68-8P 628701-69-9P 628701-70-2P 628701-71-3P
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628701-83-7P 628701-84-8P 628701-85-9P 628701-86-0P 628701-88-2P
628701-90-6P 628701-91-7P 628701-93-9P 628701-94-0P 628701-95-1P
628701-96-2P 628701-97-3P 628701-98-4P 628701-99-5P 628702-01-2P
628702-03-4P 628702-05-6P 628702-06-7P 628702-07-8P 628702-08-9P
628702-09-0P 628702-10-3P 628702-11-4P 628702-12-5P 628702-14-7P
628702-16-9P 628702-18-1P 628702-20-5P 628702-21-6P 628702-22-7P
628702-24-9P 628702-25-0P 628702-27-2P 628702-28-3P 628702-30-7P
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628702-55-6P 628702-56-7P 628702-57-8P
628702-58-9P 628702-59-0P 628702-60-3P
628702-61-4P 628702-62-5P 628702-63-6P
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628702-67-0P 628702-68-1P 628702-69-2P
628702-70-5P 628702-71-6P 628702-72-7P
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RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 116700-73-3P 123784-07-6P **620161-75-3P 625389-96-0P**
625389-97-1P 625389-98-2P 625390-00-3P
625390-04-7P 625390-05-8P 625390-08-1P 625390-10-5P
625390-12-7P 625390-14-9P 625390-16-1P 625390-18-3P 625390-20-7P
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628703-03-7P 628703-04-8P 628703-05-9P
628703-16-2P 628703-17-3P 628703-18-4P
628703-20-8P 628703-21-9P **628703-22-0P** 628703-23-1P
628703-24-2P 628703-25-3P 628703-27-5P
RL: IMF (Industrial manufacture); **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 62-53-3, Aniline, reactions 64-04-0, Phenethylamine 80-17-1 92-66-0
100-39-0 100-46-9, Benzylamine, reactions 101-55-3 103-64-0, .beta.-Bromostyrene 105-36-2 504-29-0, 2-Pyridinamine 524-38-9, N-Hydroxyphthalimide 590-17-0 591-50-4, Iodobenzene 613-94-5
622-30-0, Benzylhydroxylamine 622-33-3 932-87-6 1034-49-7
1449-46-3 1589-82-8, Benzylmagnesium bromide 1730-25-2, Allylmagnesium bromide 1782-39-4 1944-96-3 2038-57-5, Benzenepropanamine
2113-57-7 2567-29-5 3277-89-2, Phenethylmagnesium bromide 3319-99-1
3360-54-1 3513-81-3 4616-54-0 4732-11-0 4846-21-3 4916-55-6
4930-98-7 5332-24-1 7688-25-7 13214-66-9, Benzenebutanamine
14704-31-5 15256-11-8 18462-35-6 26146-77-0 26776-70-5, 1,3-Dihydroxyacetone dimer 27570-08-7 30777-95-8 30777-96-9
33675-41-1 36881-42-2 37756-48-2 37832-20-5 39854-54-1
52552-21-3 54624-57-6 55418-29-6 55418-32-1 58841-74-0
60691-90-9 64908-64-1 66305-82-6 72915-12-9 74771-11-2
78254-23-6 79349-78-3 83670-46-6 87413-09-0, Dess-Martin reagent
92856-14-9 94115-39-6 **111321-02-9** 115665-71-9 133609-18-4
133745-75-2, N-Fluorobenzenesulfonimide 144429-18-5 149649-90-1
150191-56-3 154357-82-1 160725-45-1 198694-68-7 205111-38-2
205111-39-3 205111-41-7 205114-21-2 207746-06-3 218431-37-9
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628700-17-4 **628703-03-7** 628703-07-1 628703-08-2
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628704-63-2 628704-64-3 628704-65-4 628704-66-5 628708-47-4
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

IT 87742-13-0
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

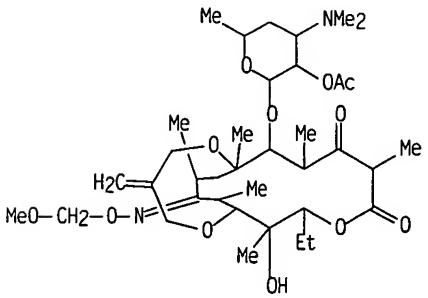
RE

(1) Chu, D; US 5866549 A 1999 HCAPLUS

IT **628698-69-1P**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**; SPN (Synthetic preparation); THU (Therapeutic use); **RACT (Reactant or reagent)**; PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin ketolide derivs. as antibacterial agents)

RN 628698-69-1 HCAPLUS

CN Erythromycin, 3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-.alpha.-L-ribo-hexopyranosyl)oxy]-6,11-O-(2-methylene-1,3-propanediyl)-3-oxo-, 9-[O-(methoxymethyl)oxime], 2'-acetate, (9E)- (9CI) (CA INDEX NAME)



L30 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:913173 HCAPLUS
DN 139:396138
ED Entered STN: 21 Nov 2003
TI Preparation of 6,11-bicyclic erythromycin macrolides as antibacterial agents
IN Or, Yat Sun; Wang, Guoqiang; Phan, Ly Tam; Niu, Deqiang; Qui, Yao-Ling; Vo, Nha Huu; Farmer, Jay Judson; Hou, Ying
PA Enanta Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07H017-00
ICS A61K031-00
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 10, 63
FAN.CNT 10

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003095466	A1	20031120	WO 2003-US14914	20030513

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-144396 A 20020513

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003095466	ICM	C07H017-00
	ICS	A61K031-00

OS CASREACT 139:396138; MARPAT 139:396138
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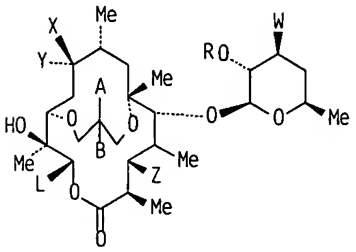


I

AB 6,11-Bicyclic erythromycin macrolides I, wherein A is OH, OR1, R1 is hydroxy protecting group, aryl, heteroaryl, O-aryl, O-heteroaryl, H, halogen, alkyl, alkenyl, alkynyl, sulfonyl, amide, sulfonamide, amine; B is H, deuterium, halogen, OH, aryl, heteroaryl, OR1; A and B together are O, acetal, thioacetal, acyl, alkene, oxime; X and Y are independently H, deuterium, OR1, amine; X and Y together are CO, imine; L is Me, Et, CH(OH)Me, alkyl, alkenyl, alkynyl; W is amine; Z is H, OH, OR1, alkoxy,

ester, O-amide, sulfonyl, heterocycle, or pharmaceutically acceptable salts, esters, or prodrugs thereof which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Title compds. were tested for in vitro antibacterial activity by a micro-dilution method and demonstrated an MIC in the range from about 64 .mu.g/mL to about 0.03 .mu.g/mL. According to the methods of treatment of the present invention, bacterial infections are treated or prevented in a patient such as a human or other animals by administering to the patient a therapeutically effective amount of a compound of the invention, in such amts. and for such time as is necessary to achieve the desired result (no data). Thus, I (A and B together with the carbon atom to which they are attached = C:CH2, X and Y together with the carbon atom to which they are attached = C:NAc, L = Et, W is NMe2, Z = R = H) was prepared and tested as antibacterial agent.

ST bicyclic erythromycin macrolide prepn antibacterial human prodrug

IT Antibiotics
(aminoglycoside; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Infection
(bacterial; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Antibiotics
(macrolide; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT Antibacterial agents
Antibiotics
Human
(preparation of bicyclic erythromycin macrolides as antibacterial agents)

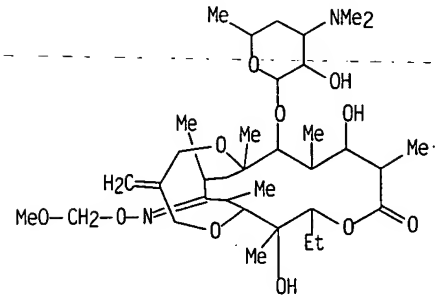
IT Drug delivery systems
(prodrugs; preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT **625390-06-9P** 625390-26-3P 625390-39-8P **625390-42-3P**
625390-44-5P **625390-48-9P** **625390-49-0P**
625390-51-4P **625390-52-5P** **625390-53-6P**
625390-54-7P **625390-55-8P** **625390-56-9P**
625390-57-0P **625390-58-1P** 625390-59-2P
625390-60-5P 625390-61-6P **625390-62-7P**
625390-63-8P **625390-64-9P** **625390-65-0P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT **625389-96-0P** **625389-97-1P** **625389-98-2P**
625389-99-3P **625390-00-3P** **625390-02-5P**
625390-03-6P **625390-04-7P** **625390-05-8P**
625390-08-1P 625390-12-7P 625390-14-9P 625390-16-1P 625390-18-3P
625390-20-7P 625390-22-9P 625390-24-1P 625390-28-5P 625390-30-9P
625390-31-0P **625390-32-1P** **625390-33-2P**
625390-34-3P **625390-35-4P** 625390-36-5P 625390-37-6P
625390-38-7P **625390-40-1P** **625390-41-2P**
625390-43-4P **625390-45-6P** **625390-46-7P**
625390-47-8P **625390-50-3P** **628703-03-7P**
RL: IMF (Industrial manufacture); **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of bicyclic erythromycin macrolides as antibacterial agents)

IT 103-64-0, .beta.-Bromostyrene 501-81-5, 3-Pyridylacetic acid
1449-46-3, Benzyl triphenylphosphonium bromide 5332-24-1, 3-Bromoquinoline 7688-25-7, 1,4-Bis(diphenylphosphino)butane
13115-43-0, 2-Pyridylacetic acid 26776-70-5, 1,3-Dihydroxyacetone dimer

111321-02-9 315193-22-7 **620161-75-3** 625390-10-5
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of bicyclic erythromycin macrolides as antibacterial agents)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Abbott Lab; WO 9921864 A 1999 HCAPLUS
(2) LI, L; US 6046171 A 2000 HCAPLUS
IT **625390-06-9P**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**; SPN (Synthetic preparation); THU (Therapeutic use); **RACT (Reactant or reagent)**; PREP (Preparation); USES (Uses)
(preparation of bicyclic erythromycin macrolides as antibacterial agents)
RN 625390-06-9 HCAPLUS
CN Erythromycin, 3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-.alpha.-L-ribo-hexopyranosyl)-6,11-O-(2-methylene-1,3-propanediyl)-, 9-[O-(methoxymethyl)oxime], (9E)- (9CI) (CA INDEX NAME)



L30 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:887676 HCAPLUS
DN 139:365174
ED Entered STN: 13 Nov 2003
TI Preparation of 6,11-3c-bicyclic 9a-azalide erythromycin derivatives as antibacterial agents
IN Wang, Guoqiang; Or, Yat Sun; Phan, Ly Tam; Busuyek, Marina
PA Enanta Pharmaceuticals, Inc., USA
SO U.S., 29 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K031-70
ICS C07H001-00; C07H017-08
NCL 514029000; 536007400; 536018500
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 10, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6645941	B1	20031111	US 2003-397923	20030326
WO 2004087728	A2	20041014	WO 2004-US8940	20040324
WO 2004087728	A3	20041216		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,

SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

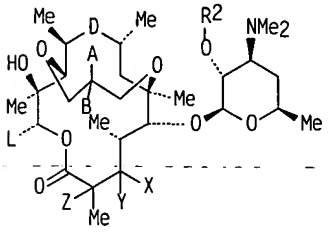
PRAI US 2003-397923 A 20030326

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6645941	ICM	A61K031-70
	ICS	C07H001-00; C07H017-08
	NCL	514029000; 536007400; 536018500
WO 2004087728	ECLA	C07H017/08F

OS CASREACT 139:365174; MARPAT 139:365174

GI



I

AB 6,11-3C-bicyclic 9a-azalide erythromycin derivs. I were prepared, wherein A is OH, alkoxy, aryl, heteroaryl, H, halogen, alkyl, alkynyl, alkenyl, sulfonyl, amide, amine, sulfonamide; B is H, deuterium, halogen, OH, aryl, heteroaryl, CO, ester, thioester, oxime, imine; L is Me, Et, CH(OH)Me, alkyl, alkynyl, alkenyl,; D is substituted amine; X is H; Y is H, OH, alkoxy, ester, amide, sulfonyl; X and Y together are oxo; Z is H, Me, halogen; R2 is H, hydroxy protecting group, which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. Thus, I (AB = :CH2, D = NHMe, X = Z = H, Y = OH, L = Et, R2 = Ac) was prepared and tested in vitro as antibacterial agent (MIC = 0.03 .mu.g/mL). The total daily dose of the compds. of this invention administered to a human or other animal in single or in divided doses can be in amts., for example, from 0.01 to 50 mg/kg body weight or more usually from 0.1 to 25 mg/kg body weight The compds. of the invention generally demonstrated an MIC in the range from about 64 .mu.g/mL to about 0.03 .mu.g/mL.

ST macrolide glycoside erythromycin prepn antibacterial human prodrug

IT Antibiotics
(aminoglycoside; preparation of 6,11-3c-bicyclic 9a-azalide erythromycin derivs. as antibacterial agents)

IT Infection
(bacterial; preparation of 6,11-3c-bicyclic 9a-azalide erythromycin derivs. as antibacterial agents)

IT Antibiotics
(macrolide; preparation of 6,11-3c-bicyclic 9a-azalide erythromycin derivs. as antibacterial agents)

IT Antibacterial agents
Antibiotics
Human
(preparation of 6,11-3c-bicyclic 9a-azalide erythromycin derivs. as antibacterial agents)

IT Drug delivery systems
(prodrugs; preparation of 6,11-3c-bicyclic 9a-azalide erythromycin derivs. as antibacterial agents)

IT 620161-83-3P 620161-84-4P 620161-87-7P 620161-89-9P 620161-90-2P

620161-91-3P 620161-92-4P 620161-93-5P 620161-94-6P 620161-95-7P
620161-96-8P 620161-97-9P 620161-98-0P 620161-99-1P 620162-00-7P
620162-01-8P 620162-02-9P 620162-03-0P 620162-04-1P 620162-05-2P
620162-06-3P 620162-07-4P 620162-08-5P 620162-09-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6,11-3c-bicyclic 9a-azalide erythromycin derivs. as antibacterial agents)

IT 3513-81-3, 2-Methylene-1,3-propanediol **13127-18-9**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**
(preparation of 6,11-3c-bicyclic 9a-azalide erythromycin derivs. as antibacterial agents)

IT **314050-27-6P 620161-75-3P 620161-76-4P**
620161-78-6P 620161-79-7P 620161-80-0P 620161-81-1P
620161-82-2P 620161-85-5P 620161-86-6P 620161-88-8P
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of 6,11-3c-bicyclic 9a-azalide erythromycin derivs. as antibacterial agents)

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE
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(2) Agouridas; US 5527780 A 1996 HCAPLUS
(3) Anon; WO 0114397 2001 HCAPLUS
(4) Anon; WO 03042228 2003 HCAPLUS
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(7) Bright; The Journal Of Antibiotics 1988, VXLI(8), P1029
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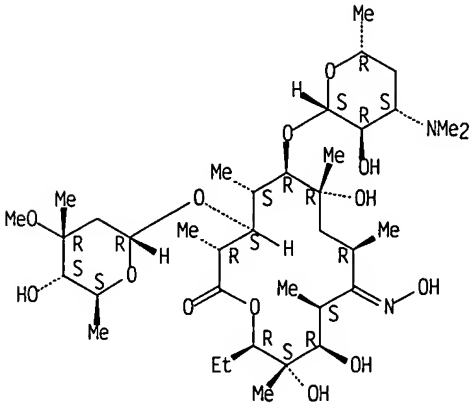
(10) Morimoto; US 4990602 A 1991 HCAPLUS
(11) Or; US 5866549 A 1999 HCAPLUS
(12) Or; US 6046171 A 2000 HCAPLUS
(13) Phan; US 6124269 A 2000 HCAPLUS
(14) Yang; US 5686587 A 1997 HCAPLUS

IT **13127-18-9**
RL: **RCT (Reactant)**; **RACT (Reactant or reagent)**;
RACT (Reactant or reagent)
(preparation of 6,11-3c-bicyclic 9a-azalide erythromycin derivs. as antibacterial agents)

RN 13127-18-9 HCAPLUS
CN Erythromycin, 9-oxime (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



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